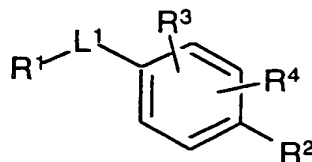


WHAT IS CLAIMED IS:

1. A compound of Formula I



I,

or a pharmaceutically acceptable salt or prodrug thereof, where

L<sup>1</sup> is selected from

- (1) a covalent bond,  
(2) -C(O)NR<sup>5</sup>(CH<sub>2</sub>)<sub>m</sub>-, where m is an integer from 0 to 4, and

R<sup>5</sup> is selected from

(a) hydrogen

and

(b) alkyl,

and

- (3) -N(R<sup>5</sup>)C(O)(CH<sub>2</sub>)<sub>m</sub>-,

where (2) and (3) are drawn with their left ends attached to R<sup>1</sup>;

R<sup>1</sup> is selected from

- (1) alkyl,  
(2) alkyl substituted with 1, 2, or 3 substituents selected from  
(a) -NO<sub>2</sub>  
(b) -NR<sup>6</sup>R<sup>7</sup> where R<sup>6</sup> and R<sup>7</sup> are independently selected from

(i) hydrogen,

(ii) alkyl,

(iii) arylalkyl,

(iv) an amino protecting group,

(v) alkanoyl, where the alkanoyl can be optionally substituted with -OR<sup>9</sup>,

(vi) (aryl)oyl,

(vii) alkoxycarbonyl,

and

(viii) (heteroaryl)oyl,

and

- (c) alkoxycarbonyl,
- 35 (3) aryl substituted with 1, 2, 3, 4, or 5 substituents independently selected from
- (a)  $-NR^6R^7$ ,
- (b) alkyl,
- and
- (c) alkyl substituted with 1, 2, or 3 substituents selected from  $-NR^6R^7$ ,
- 40 (4)  $-NR^6R^7$ ,
- and
- (5)  $-OR^9$ ;

$R^2$  and  $R^3$  are selected from

- 45 (1) hydrogen
- (2)  $-(CH_2)_nC(O)R^8$  where n is an integer from 0 to 4, and
- $R^8$  is selected from
- (a)  $-OR^9$  where  $R^9$  is selected from
- (i) hydrogen,
- 50 (ii) alkyl,
- and
- (iii) alkyl substituted with 1 or 2 substituents selected from the group
- consisting of aryl

and

- 55 (b)  $-NR^5R^{10}$  where  $R^5$  is defined previously, and  $R^{10}$  is selected from
- (i) hydrogen,
- (ii) alkyl,
- (iii) alkyl substituted with 1, 2, or 3 substituents independently
- selected from
- 60 (1')  $-CO_2R^9$
- and
- (2')  $-C(O)NR^6R^7$
- (iv) aryl, and
- (v) arylalkyl,
- 65 where (iv) and (v) can be optionally substituted with 1, 2, 3, 4, or 5
- substituents
- independently selected from
- (1') alkyl,
- (2') alkanoyl,
- 70 (3')  $-OR^9$ ,

75 (4') -CO<sub>2</sub>R<sup>9</sup>,  
 (5') alkanoyloxy,  
 (6') carboxaldehyde,  
 (7') cycloalkyl,  
 (8') cycloalkenyl,  
 (9') halo,  
 (10') nitro,  
 (11') perfluoroalkyl,  
 (12') perfluoroalkoxy,  
 80 (13') -NR<sup>6</sup>R<sup>7</sup>,  
 (14') -SO<sub>2</sub>NR<sup>6</sup>R<sup>7</sup>,  
 (15') -C(O)NR<sup>6</sup>R<sup>7</sup>,  
 (16') aryloxy,  
 and  
 85 (17') aryl,  
 and

(3) aryl, wherein the aryl is optionally substituted with 1, 2, or 3 substituents independently

90 selected from  
 (a) -NR<sup>6</sup>R<sup>7</sup>  
 and  
 (b) -CO<sub>2</sub>R<sup>9</sup>,

provided that at least one of R<sup>2</sup> and R<sup>3</sup> is other than hydrogen;

95 R<sup>4</sup> is selected from

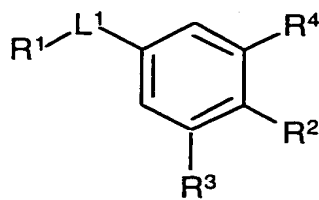
(1) hydrogen,  
 (2) alkyl,  
 (3) cycloalkyl,  
 (4) -CO<sub>2</sub>R<sup>5</sup>,  
 100 (5) aryl,  
 and

(6) aryl substituted with at least one of W, X, Y, or Z where W, X, Y, and Z are independently selected from

105 (a) alkyl,  
 (b) alkanoyl,  
 (c) -OR<sup>9</sup>,

- (d)  $-\text{CO}_2\text{R}^9$ ,
- (e) alkanoyloxy,
- (f) carboxaldehyde,
- (g) cycloalkyl,
- (h) cycloalkenyl,
- (i) halo,
- (j) nitro,
- (k) perfluoroalkyl,
- (l) perfluoroalkoxy,
- (m)  $-\text{NR}^6\text{R}^7$ ,
- (n)  $-\text{SO}_2\text{NR}^6\text{R}^7$ ,
- (o)  $-\text{C}(\text{O})\text{NR}^6\text{R}^7$ ,
- (p) aryloxy,
- and
- (q) aryl.

2. A compound according to claim 1 of Formula II



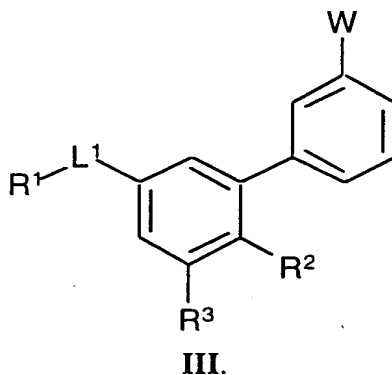
where  $\text{R}^3$  is hydrogen.

3. A compound according to claim 2 where  $\text{R}^4$  is hydrogen.
4. A compound according to claim 3 selected from the group consisting of  
 N-[4-[N-(acetylglucyl)amino]benzoyl]-L-aspartic acid,  
 N-[4-[(7-amino-1-oxoheptyl)amino]benzoyl]-L-aspartic acid,  
 (S)-N-[4-[[2-(acetylglucyl)-6-amino-1-oxohexyl]amino]benzoyl]-L- $\alpha$ -asparagine,  
 N-[(4-aminophenyl)acetyl]-L-aspartic acid, bis(1,1-dimethylethyl) ester,  
 (S)-N-[4-[[2-amino-6-[[[(phenylmethoxy)carbonyl]amino]-1-oxohexyl]amino]phenyl]acetyl]-L-aspartic acid,  
 (S)-N-[4-[[2-(acetylglucyl)-6-[[[(phenylmethoxy)carbonyl]amino]-1-oxohexyl]amino]phenyl]acetyl]-L-aspartic acid,

- 10 N-[2-[[4-[2-(acetylamino)-6-amino-1-oxohexyl]amino]phenyl]-1-oxoethyl]-L-aspartic acid,  
 (S)-N-[[4-[[6-amino-2-[[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxohexyl]amino]phenyl]acetyl]-L-aspartic acid, bis(1,1-dimethylethyl) ester,  
 (S)-N-[[4-[(2,6-diamino-1-oxohexyl)amino]phenyl]acetyl]-L-aspartic acid,  
 15 (S)-ethyl 4-[[6-amino-2-[[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxohexyl]amino]benzeneacetate,  
 (S)-4-[[6-amino-2-[[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxohexyl]amino]benzeneacetic acid,  
 (4S)-4-((4-(((2S)-2-(acetylamino)-6-amino-1-oxohexanoyl)amino)benzoyl)amino)-5-  
 20 (methylamino)-5-oxopentanoic acid,  
 4-(((2S)-6-amino-2-((*tert*-butoxycarbonyl)amino)hexanoyl)amino)benzoic acid,  
 (3S)-3-((4-(((2S)-2-(acetylamino)-6-amino-1-oxohexanoyl)amino)benzoyl)amino)-4-amino-4-oxobutanoic acid, and  
 methyl 4-(((2S)-6-amino-2-((*tert*-butoxycarbonyl)amino)hexanoyl)amino)-  
 25 benzoate.
5. A compound according to claim 2 where **R<sup>4</sup>** is aryl.
6. A compound according to claim 5 selected from the group consisting of  
 4-[[4-(aminomethyl)benzoyl]amino]-2-phenylbenzoic acid,  
 (S)-N-[4-[[2-(acetylamino)-6-amino-1-oxohexyl]amino]-2-phenylbenzoyl]-L- $\alpha$ -asparagine,  
 5 5-(((2S)-2-(acetylamino)-6-amino-1-oxohexanoyl)amino)-N-(2-hydroxyphenyl)(1,1'-biphenyl)-2-carboxamide,  
 5-(((2S)-2-(acetylamino)-6-amino-1-oxohexanoyl)amino)-N-(3-hydroxyphenyl)(1,1'-biphenyl)-2-carboxamide,  
 5-(((2S)-2-(acetylamino)-6-amino-1-oxohexanoyl)amino)-N-(4-hydroxyphenyl)(1,1'-  
 10 biphenyl)-2-carboxamide,  
 methyl 5-(((2S)-6-amino-2-(((benzyloxy)carbonyl)amino)hexanoyl)amino)(1,1'-biphenyl)-2-carboxylate,  
 5-(((2S)-2-(acetylamino)-6-amino-1-oxohexanoyl)amino)(1,1'-biphenyl)-2-carboxylic acid,  
 15 (2S)-2-(((5-(((2S)-2-(acetylamino)-6-amino-1-oxohexanoyl)amino)(1,1'-biphenyl)-2-yl)carbonyl)amino)butanedioic acid,  
 5-(((2S)-2-(acetylamino)-6-amino-1-oxohexanoyl)amino)-N-(4-(aminosulfonyl)phenethyl)(1,1'-biphenyl)-2-carboxamide,

- ethyl 2-(((5-(((2S)-2-(acetyl amino)-6-amino hexanoyl) amino)(1,1'-biphenyl)-2-yl)carbonyl) amino) benzoate,
- ethyl 3-(((5-(((2S)-2-(acetyl amino)-6-amino hexanoyl) amino)(1,1'-biphenyl)-2-yl)carbonyl) amino) benzoate,
- ethyl 4-(((5-(((2S)-2-(acetyl amino)-6-amino hexanoyl) amino)(1,1'-biphenyl)-2-yl)carbonyl) amino) benzoate,
- 5-(((2S)-2-(acetyl amino)-6-amino hexanoyl) amino)-N-(4-(aminosulfonyl) benzyl)(1,1'-biphenyl)-2-carboxamide,
- 2-(((5-(((2S)-2-(acetyl amino)-6-(((benzyloxy) carbonyl) amino) hexanoyl)-amino)(1,1'-biphenyl)-2-yl)carbonyl) amino) benzoic acid,
- 3-(((5-(((2S)-2-(acetyl amino)-6-(((benzyloxy) carbonyl) amino) hexanoyl)-amino)(1,1'-biphenyl)-2-yl)carbonyl) amino) benzoic acid,
- 4-(((5-(((2S)-2-(acetyl amino)-6-(((benzyloxy) carbonyl) amino) hexanoyl)-amino)(1,1'-biphenyl)-2-yl)carbonyl) amino) benzoic acid,
- 2-(((5-(((2S)-2-(acetyl amino)-6-amino hexanoyl) amino)(1,1'-biphenyl)-2-yl)carbonyl) amino) benzoic acid,
- 3-(((5-(((2S)-2-(acetyl amino)-6-amino hexanoyl) amino)(1,1'-biphenyl)-2-yl)carbonyl) amino) benzoic acid, and
- 4-(((5-(((2S)-2-(acetyl amino)-6-amino hexanoyl) amino)(1,1'-biphenyl)-2-yl)carbonyl) amino) benzoic acid.

7. A compound according to claim 2 of Formula III



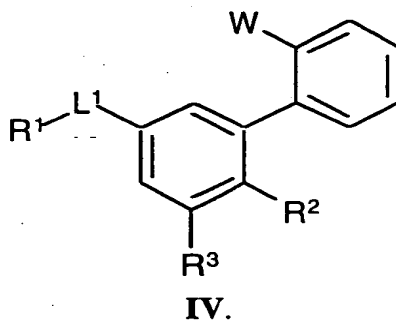
8. A compound according to claim 7 selected from the group consisting of
- (S)-methyl 4-[[2-[[[(1,1-dimethylethoxy) carbonyl] amino]-6-[(phenylmethoxy) carbonyl] amino]-1-oxohexyl] amino]-2-[3-(phenylmethoxy) phenyl] benzoate,
- (S)-1,1-dimethylethyl 4-[[2-[[[(1,1-dimethylethoxy) carbonyl] amino]-6-

- [(phenylmethoxy)carbonyl]amino]-1-oxohexyl]amino]-2-[3-(phenylmethoxy)phenyl]benzoate,  
 (R)-methyl 4-[[6-amino-2-[[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxohexyl]amino]-2-(3-hydroxyphenyl)]benzoate,  
 10 (S)-methyl 4-[[2-amino-6-[[[(phenylmethoxy)carbonyl]amino]-1-oxohexyl]amino]-2-[(3-(phenylmethoxy)phenyl)] benzoate,  
 (S)-methyl 4-[[2-(acetyl-amino)-6-[[[(phenylmethoxy)carbonyl]amino]-1-oxohexyl]amino]-2-[(3-(phenylmethoxy)phenyl)] benzoate,  
 (S)-1,1-dimethylethyl 4-[[6-amino-2-[[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxohexyl]amino]-2-(3-hydroxyphenyl)]benzoate,  
 15 (S)-methyl 4-[[2-(acetyl-amino)-6-amino-1-oxohexyl]amino]-2-(3-hydroxyphenyl)]benzoate,  
 (S)-4-[[2-(acetyl-amino)-6-amino-1-oxohexyl]amino]-2-(3-hydroxyphenyl)]benzoic acid,  
 20 (S)-N-[4-[[2-(acetyl-amino)-6-amino-1-oxohexyl]amino]-2-(3-hydroxyphenyl)]benzoyl]-L- $\alpha$ -asparagine,  
*tert*-butyl (3S)-3-(((5-(((2S)-2-(acetyl-amino)-6-amino)hexanoyl)amino)-3'-hydroxy(1,1'-biphenyl)-2-yl)carbonyl)amino)-4-amino-4-oxobutanoate,  
 5-(((2S)-6-amino-2-((*tert*-butoxycarbonyl)amino)hexanoyl)amino)-3'-hydroxy(1,1'-biphenyl)-2-carboxylic acid,  
 25 methyl 5-(((2S)-2,6-diamino)hexanoyl)amino)-3'-hydroxy(1,1'-biphenyl)-2-carboxylate,  
 5-(((2S)-6-amino-2-((2,2-dimethylpropanoyl)amino)hexanoyl)amino)-3'-hydroxy(1,1'-biphenyl)-2-carboxylic acid,  
 30 methyl 5-(((2S)-6-amino-2-((2,2-dimethylpropanoyl)amino)hexanoyl)amino)-3'-hydroxy(1,1'-biphenyl)-2-carboxylate,  
 5-(((2S)-6-amino-2-(benzoylamino)hexanoyl)amino)-3'-hydroxy(1,1'-biphenyl)-2-carboxylic acid,  
 5-(((2S)-6-amino-2-((methoxycarbonyl)amino)hexanoyl)amino)-3'-hydroxy(1,1'-biphenyl)-2-carboxylic acid,  
 35 methyl 5-(((2S)-2-((*tert*-butoxycarbonyl)amino)hexanoyl)amino)-3'-hydroxy(1,1'-biphenyl)-2-carboxylate,  
 5-(((2S)-2-((*tert*-butoxycarbonyl)amino)-6-((3-pyridinylcarbonyl)amino)hexanoyl)amino)-3'-hydroxy(1,1'-biphenyl)-2-carboxylic acid,  
 40 5-((6-amino)hexanoyl)amino)-3'-hydroxy(1,1'-biphenyl)-2-carboxylic acid,  
 5-(((2S)-2-((*tert*-butoxycarbonyl)amino)hexanoyl)amino)-3'-hydroxy(1,1'-biphenyl)-2-carboxylic acid,

5-(((2S)-5-amino-2-((*tert*-butoxycarbonyl)amino)pentanoyl)amino)-3'-hydroxy(1,1'-biphenyl)-2-carboxylic acid, and

45 5-(((2S)-2-((*tert*-butoxycarbonyl)amino)-6-(methylamino)hexanoyl)amino)-3'-hydroxy(1,1'-biphenyl)-2-carboxylic acid.

9. A compound according to claim 2 of Formula IV



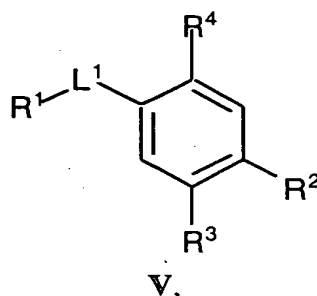
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10. A compound according to claim 9 selected from  
(R)-methyl 4-[[6-amino-2-[[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxohexyl]amino]-2-(2-hydroxyphenyl)benzoate,

5 methyl 5-(((2S)-6-amino-2-((*tert*-butoxycarbonyl)amino)hexanoyl)amino)-4'-hydroxy(1,1'-biphenyl)-2-carboxylate, and

(3S)-3-(((5-(((2S)-2-(acetylamino)-6-aminohexanoyl)amino)-4'-hydroxy(1,1'-biphenyl)-2-yl)carbonyl)amino)-4-amino-4-oxobutanoic acid.

11. A compound according to claim 1 of Formula V



5

where **R²** is hydrogen.

12. A compound according to claim 11 selected from the group consisting of  
(S)-methyl 3-[[6-amino-2-[[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxohexyl]amino]-4-(1,1-dimethylethyl)benzoate,



5 (S)-methyl 3-[[2-(acetylamino)-6-amino-1-oxohexyl]amino]-4-(1,1-dimethylethyl)benzoate,  
 (S)-3-[[2-(acetylamino)-6-amino-1-oxohexyl]amino]-4-(1,1-dimethylethyl)benzoic  
 acid, and  
 methyl 3-(((2S)-6-amino-2-((*tert*-butoxycarbonyl)amino)hexanoyl)amino)-4-cyclohexylbenzoate.

10

13. A compound according to claim 2, wherein  $R^2$  is hydrogen.

14. A compound according to claim 13, which is

4-(((2S)-6-amino-2-((*tert*-butoxycarbonyl)amino)hexanoyl)amino)-2-chlorobenzoic acid.

15. A method of treating a patient in need of anti-angiogenesis therapy comprising administering to the patient a therapeutically effective amount of a compound according to claim 1.

16. A method of treating a patient in need of anti-angiogenesis therapy comprising administering to the patient a therapeutically effective amount of a compound according to claim 1.

17. A compound according to claim 1 selected from the group consisting of  
 N-[4-[N-(acetylglycyl)amino]benzoyl]-L-aspartic acid,  
 4-[[4-(aminomethyl)benzoyl]amino]-2-phenylbenzoic acid monohydrochloride,  
 N-[4-[(7-amino-1-oxoheptyl)amino]benzoyl]-L-aspartic acid,

5

(S)-methyl 3-[[6-amino-2-[(1,1-dimethylethoxy)carbonyl]amino]-1-oxohexyl]amino]-4-(1,1-dimethylethyl)benzoate,

(S)-methyl 3-[[2-(acetylamino)-6-amino-1-oxohexyl]amino]-4-(1,1-dimethylethyl)benzoate,

10

(S)-3-[[2-(acetylamino)-6-amino-1-oxohexyl]amino]-4-(1,1-dimethylethyl)benzoic acid,

(S)-methyl 4-[[2-[[[(1,1-dimethylethoxy)carbonyl]amino]-6-[(phenylmethoxy)carbonyl]amino]-1-oxohexyl]amino]-2-[3-(phenylmethoxy)phenyl]benzoate,

15

(S)-1,1-dimethylethyl 4-[[2-[[[(1,1-dimethylethoxy)carbonyl]amino]-6-[(phenylmethoxy)carbonyl]amino]-1-oxohexyl]amino]-2-[3-

(phenylmethoxy)phenyl]benzoate,  
 (R)-methyl 4-[[6-amino-2-[[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxohexyl]amino]-2-(3-hydroxyphenyl)benzoate,  
 (R)-methyl 4-[[6-amino-2-[[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxohexyl]amino]-2-(2-hydroxyphenyl)benzoate,  
 20 (S)-methyl 4-[[2-amino-6-[[[(phenylmethoxy)carbonyl]amino]-1-oxohexyl]amino]-2-[(3-(phenylmethoxy)phenyl] benzoate,  
 (S)-methyl 4-[[2-(acetyl amino)-6-[[[(phenylmethoxy)carbonyl]amino]-1-oxohexyl]amino]-2-[(3-(phenylmethoxy)phenyl] benzoate,  
 25 (S)-1,1-dimethylethyl 4-[[6-amino-2-[[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxohexyl]amino]-2-(3-hydroxyphenyl)benzoate,  
 (S)-methyl 4-[[2-(acetyl amino)-6-amino-1-oxohexyl]amino]-2-(3-hydroxyphenyl)benzoate,  
 (S)-4-[[2-(acetyl amino)-6-amino-1-oxohexyl]amino]-2-(3-hydroxyphenyl)benzoic  
 30 acid,  
 (S)-N-[4-[[2-(acetyl amino)-6-amino-1-oxohexyl]amino]-2-(3-hydroxyphenyl)benzoyl]-L- $\alpha$ -asparagine,  
 (S)-N-[4-[[2-(acetyl amino)-6-amino-1-oxohexyl]amino]-2-phenylbenzoyl]-L- $\alpha$ -asparagine,  
 35 (S)-N-[4-[[2-(acetyl amino)-6-amino-1-oxohexyl]amino]benzoyl]-L- $\alpha$ -asparagine,  
 N-[(4-aminophenyl)acetyl]-L-aspartic acid, bis(1,1-dimethylethyl) ester,  
 (S)-N-[[4-[[2-amino-6-[[[(phenylmethoxy)carbonyl]amino]-1-oxohexyl]amino]phenyl]acetyl]-L-aspartic acid, trifluoroacetate salt,  
 (S)-N-[[4-[[2-(acetyl amino)-6-[[[(phenylmethoxy)carbonyl]amino]-1-oxohexyl]amino]phenyl]acetyl]-L-aspartic acid,  
 40 (S)-N-[[4-[[2-(acetyl amino)-6-[[[(phenylmethoxy)carbonyl]amino]-1-oxohexyl]amino]phenyl]acetyl]-L-aspartic acid,  
 N-[2-[[4-[[2-(acetyl amino)-6-amino-1-oxohexyl]amino]phenyl]-1-oxoethyl]-L-aspartic acid,  
 (S)-N-[[4-[[6-amino-2-[[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxohexyl]amino]phenyl]acetyl]-L-aspartic acid, bis(1,1-dimethylethyl) ester,  
 45 (S)-N-[[4-[[2,6-diamino-1-oxohexyl]amino]phenyl]acetyl]-L-aspartic acid,  
 (S)-ethyl 4-[[6-amino-2-[[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxohexyl]amino]benzeneacetate monohydrochloride,  
 (S)-4-[[6-amino-2-[[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxohexyl]amino]benzeneacetic acid monohydrochloride,  
 50 methyl 5-(((2S)-6-amino-2-((*tert*-butoxycarbonyl)amino)hexanoyl)amino)-4'-hydroxy(1,1'-biphenyl)-2-carboxylate,  
 (3S)-3-(((5-(((2S)-2-(acetyl amino)-6-aminohexanoyl)amino)-4'-hydroxy(1,1'-

biphenyl)-2-yl)carbonyl)amino)-4-amino-4-oxobutanoic acid,  
 methyl 3-(((2S)-6-amino-2-((*tert*-butoxycarbonyl)amino)hexanoyl)amino)-4-  
 55 cyclohexylbenzoate,  
*tert*-butyl (3S)-3-(((5-(((2S)-2-(acetylamino)-6-aminohexanoyl)amino)-3'-  
 hydroxy(1,1'-biphenyl)-2-yl)carbonyl)amino)-4-amino-4-oxobutanoate,  
 5-(((2S)-6-amino-2-((*tert*-butoxycarbonyl)amino)hexanoyl)amino)-3'-hydroxy(1,1'-  
 biphenyl)-2-carboxylic acid,  
 60 methyl 5-(((2S)-2,6-diaminohexanoyl)amino)-3'-hydroxy(1,1'-biphenyl)-2-  
 carboxylate,  
 5-(((2S)-6-amino-2-((2,2-dimethylpropanoyl)amino)hexanoyl)amino)-3'-  
 hydroxy(1,1'-biphenyl)-2-carboxylic acid,  
 methyl 5-(((2S)-6-amino-2-((2,2-dimethylpropanoyl)amino)hexanoyl)amino)-3'-  
 65 hydroxy(1,1'-biphenyl)-2-carboxylate,  
 5-(((2S)-6-amino-2-(benzoylamino)hexanoyl)amino)-3'-hydroxy(1,1'-biphenyl)-2-  
 carboxylic acid,  
 5-(((2S)-6-amino-2-((methoxycarbonyl)amino)hexanoyl)amino)-3'-hydroxy(1,1'-  
 biphenyl)-2-carboxylic acid,  
 70 (4S)-4-((4-(((2S)-2-(acetylamino)-6-aminohexanoyl)amino)benzoyl)amino)-5-  
 (methylamino)-5-oxopentanoic acid,  
 4-(((2S)-6-amino-2-((*tert*-butoxycarbonyl)amino)hexanoyl)amino)benzoic acid,  
 (3S)-3-((4-(((2S)-2-(acetylamino)-6-aminohexanoyl)amino)benzoyl)amino)-4-  
 amino-4-oxobutanoic acid,  
 75 methyl 4-(((2S)-6-amino-2-((*tert*-butoxycarbonyl)amino)hexanoyl)amino)-  
 benzoate,  
 methyl 5-(((2S)-2-((*tert*-butoxycarbonyl)amino)hexanoyl)amino)-3'-hydroxy(1,1'-  
 biphenyl)-2-carboxylate,  
 4-(((2S)-6-amino-2-((*tert*-butoxycarbonyl)amino)hexanoyl)amino)-2-  
 80 chlorobenzoic acid,  
 5-(((2S)-2-(acetylamino)-6-aminohexanoyl)amino)-N-(2-hydroxyphenyl)(1,1'-  
 biphenyl)-2-carboxamide,  
 5-(((2S)-2-(acetylamino)-6-aminohexanoyl)amino)-N-(3-hydroxyphenyl)(1,1'-  
 biphenyl)-2-carboxamide,  
 85 5-(((2S)-2-(acetylamino)-6-aminohexanoyl)amino)-N-(4-hydroxyphenyl)(1,1'-  
 biphenyl)-2-carboxamide,  
 methyl 5-(((2S)-6-amino-2-(((benzyloxy)carbonyl)amino)hexanoyl)amino)(1,1'-  
 biphenyl)-2-carboxylate,  
 5-(((2S)-2-((*tert*-butoxycarbonyl)amino)-6-((3-

- 90 pyridinylcarbonyl)amino)hexanoyl)amino)-3'-hydroxy(1,1'-biphenyl)-2-carboxylic acid,  
5-(((2S)-2-(acetylamino)-6-aminohexanoyl)amino)(1,1'-biphenyl)-2-carboxylic  
acid,  
5-(((6-aminohexanoyl)amino)-3'-hydroxy(1,1'-biphenyl)-2-carboxylic acid,  
5-(((2S)-2-((*tert*-butoxycarbonyl)amino)hexanoyl)amino)-3'-hydroxy(1,1'-  
95 biphenyl)-2-carboxylic acid,  
5-(((2S)-5-amino-2-((*tert*-butoxycarbonyl)amino)pentanoyl)amino)-3'-  
hydroxy(1,1'-biphenyl)-2-carboxylic acid,  
(2S)-2-(((5-(((2S)-2-(acetylamino)-6-aminohexanoyl)amino)(1,1'-biphenyl)-2-  
yl)carbonyl)amino)butanedioic acid,  
100 5-(((2S)-2-((*tert*-butoxycarbonyl)amino)-6-(methylamino)hexanoyl)amino)-3'-  
hydroxy(1,1'-biphenyl)-2-carboxylic acid,  
5-(((2S)-2-(acetylamino)-6-aminohexanoyl)amino)-N-(4-  
(aminosulfonyl)phenethyl)(1,1'-biphenyl)-2-carboxamide,  
ethyl 2-(((5-(((2S)-2-(acetylamino)-6-aminohexanoyl)amino)(1,1'-biphenyl)-2-  
105 yl)carbonyl)amino)benzoate,  
ethyl 3-(((5-(((2S)-2-(acetylamino)-6-aminohexanoyl)amino)(1,1'-biphenyl)-2-  
yl)carbonyl)amino)benzoate,  
ethyl 4-(((5-(((2S)-2-(acetylamino)-6-aminohexanoyl)amino)(1,1'-biphenyl)-2-  
yl)carbonyl)amino)benzoate,  
110 5-(((2S)-2-(acetylamino)-6-aminohexanoyl)amino)-N-(4-  
(aminosulfonyl)benzyl)(1,1'-biphenyl)-2-carboxamide,  
2-(((5-(((2S)-2-(acetylamino)-6-((benzyloxy)carbonyl)amino)hexanoyl)-  
amino)(1,1'-biphenyl)-2-yl)carbonyl)amino)benzoic acid,  
3-(((5-(((2S)-2-(acetylamino)-6-  
115 (((benzyloxy)carbonyl)amino)hexanoyl)amino)(1,1'-biphenyl)-2-  
yl)carbonyl)amino)benzoic acid,  
4-(((5-(((2S)-2-(acetylamino)-6-  
(((benzyloxy)carbonyl)amino)hexanoyl)amino)(1,1'-biphenyl)-2-  
yl)carbonyl)amino)benzoic acid,  
120 2-(((5-(((2S)-2-(acetylamino)-6-aminohexanoyl)amino)(1,1'-biphenyl)-2-  
yl)carbonyl)amino)benzoic acid,  
3-(((5-(((2S)-2-(acetylamino)-6-aminohexanoyl)amino)(1,1'-biphenyl)-2-  
yl)carbonyl)amino)benzoic acid, and  
4-(((5-(((2S)-2-(acetylamino)-6-aminohexanoyl)amino)(1,1'-biphenyl)-2-  
125 yl)carbonyl)amino)benzoic acid.